

Amended Claim Set (02/21/02)

5. (amended) A process according to Claim [1]⁴ wherein said C₁-C₆ alkyl acetate solvent is amyl acetate.

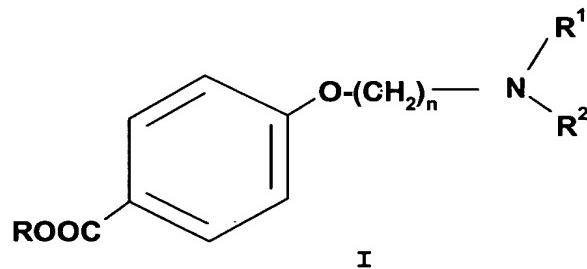
14. (amended) A process according to Claim 1 [or 13] wherein; R¹ and R² combine with the nitrogen atom to which R¹ and R² are attached, to form a piperidinyl moiety, R³ and R⁴ each are hydrogen, and n is 2, or a pharmaceutically acceptable salt, solvate, or derivative thereof.

15. (new) A process according to Claim 13 wherein; R¹ and R² combine with the nitrogen atom to which R¹ and R² are attached, to form a piperidinyl moiety, R³ and R⁴ each are hydrogen, and n is 2, or a pharmaceutically acceptable salt, solvate, or derivative thereof.

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Current Claim Set (02/21/02)

1. A process for preparing a compound of formula I



wherein;

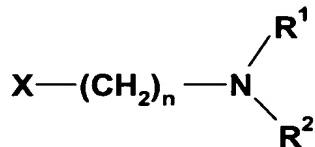
R is C₁-C₆ alkyl;

R¹ and R² each are independently C₁-C₄ alkyl, or combine together with the nitrogen atom to which R¹ and R² are attached, to form piperidinyl, pyrrolidinyl, methylpyrrolidinyl, dimethylpyrrolidinyl, morpholino, or 1-hexamethyleneimino; and

n is 2 or 3;

or a pharmaceutically acceptable salt thereof, which comprises the step of:

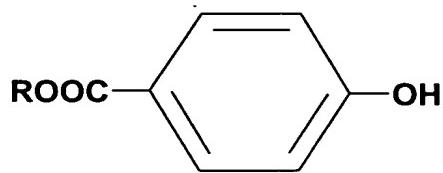
reacting a haloalkyl amine of formula III



wherein;

X is a halogen; and

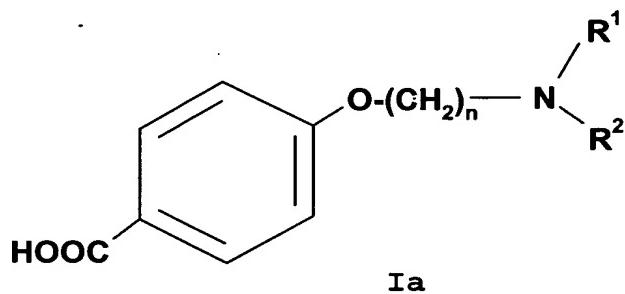
R¹, R², and n are as defined above, with a compound of formula IV



wherein R is C₁-C₆ alkyl, in the presence of a hydrated inorganic base and an appropriate solvent.

2. The process according to Claim 1 further comprising the steps of:

- a) extracting the reaction product of Claim 1 with an aqueous acid; and optionally
- b) cleaving the ester of the reaction product from step a) to form an acid compound of formula Ia



3. A process according to Claim 1 wherein the hydrated inorganic base is selected from the group consisting of potassium carbonate, sodium hydroxide, potassium hydroxide, lithium hydroxide, sodium carbonate, calcium carbonate.

4. A process according to Claim 1 wherein the solvent is a C₁-C₆ alkyl acetate solvent selected from the group consisting of amyl acetate, isopropyl acetate, isobutyl acetate and ethyl acetate.

*M Sub
B* 5. A process according to Claim 4 wherein said C₁-C₆ alkyl acetate solvent is amyl acetate.

6. A process according to Claim 1 wherein said hydrated inorganic base is a carbonate or bicarbonate salt.

7. A process according to Claim 6 wherein said carbonate salt is potassium carbonate hydrated with 1-20% water.

8. A process according to Claim 7 wherein said hydrated potassium carbonate is achieved by adding bulk water.

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R* 9. A process according to Claim 7 wherein said hydrated potassium carbonate is achieved by water of hydration.

10. A process according to Claim 7 wherein said carbonate salt is potassium carbonate sesquihydrate.

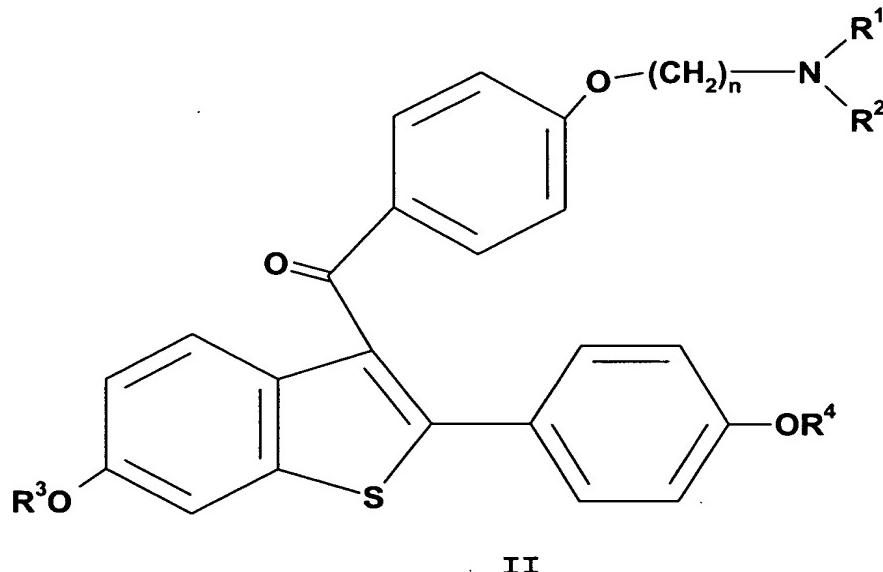
11. A process according to Claim 1 wherein R¹ and R² combine together with the nitrogen atom to which R¹ and R² are attached, to form piperidinyl; and

n is 2;

or a pharmaceutically acceptable salt thereof.

12. A process according to Claim 2 wherein said aqueous acid is hydrochloric acid.

13. A process according to Claim 2 for preparing compounds of formula II

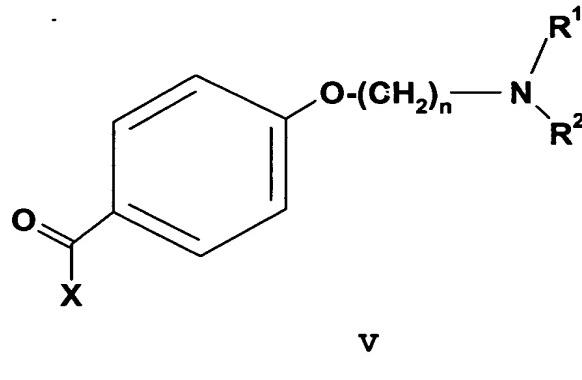


wherein;

R³ and R⁴ are independently hydrogen or a hydroxy protecting group; and

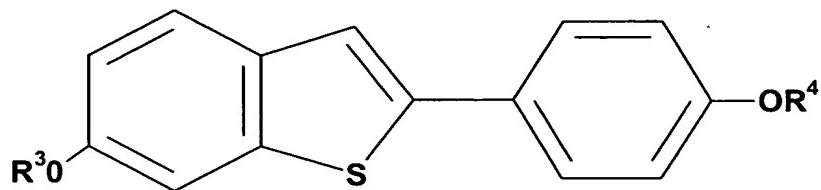
R¹, R² and n are as defined above;
or a pharmaceutically acceptable salt thereof,
comprising the steps of:

- reacting a compound of formula I or Ia with an acyl halide forming agent to form a compound of formula V



wherein X is a halogen, and

- reacting a compound of formula V with a compound of formula VI



VI

wherein R³ and R⁴ are as defined above, or a pharmaceutically acceptable salt thereof.

Sub B1
A2
14. A process according to Claim 1 wherein; R¹ and R² combine with the nitrogen atom to which R¹ and R² are attached, to form a piperidinyl moiety, R³ and R⁴ each are hydrogen, and n is 2, or a pharmaceutically acceptable salt, solvate, or derivative thereof.

Sub C1
15. A process according to Claim 13 wherein; R¹ and R² combine with the nitrogen atom to which R¹ and R² are attached, to form a piperidinyl moiety, R³ and R⁴ each are hydrogen, and n is 2, or a pharmaceutically acceptable salt, solvate, or derivative thereof.